

RTD-1

THIS BOOK BELONGS TO

Richard T. Dean

Diatech Inc.

~~CLASS OF~~ _____

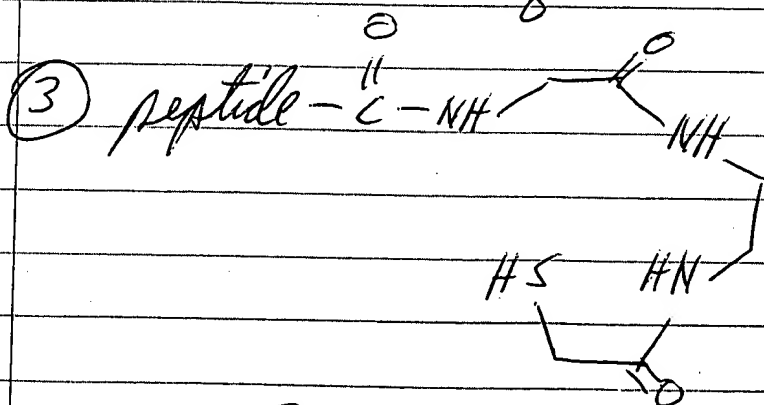
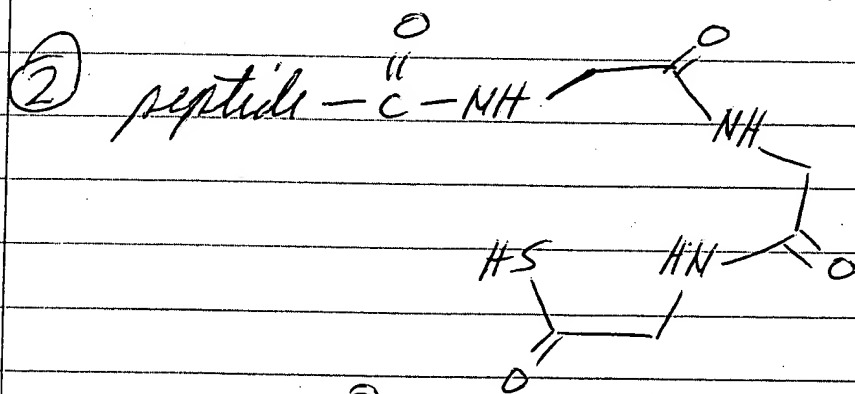
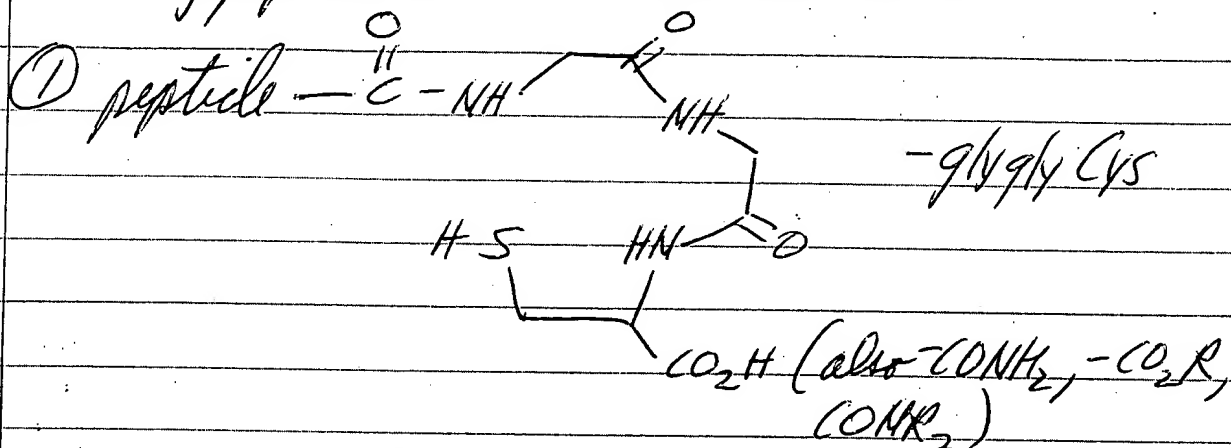
April 11, 1990

A record of research ideas
and research work performed for
Diatech Inc.

HARVARD COOPERATIVE SOCIETY
CAMBRIDGE, MASS.

Compositions for Modifying the Carboxy Terminus of A Peptide for Labeling with Technetium-99m

The following compositions are proposed for labeling peptides with Technetium-99m;

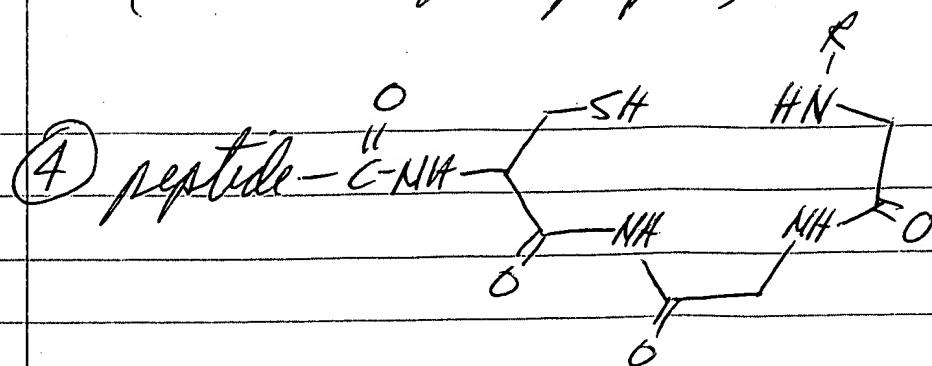


Richard T. Dean 4/11/90

Read & understood by: N. L. Dean

date: 4/11/90

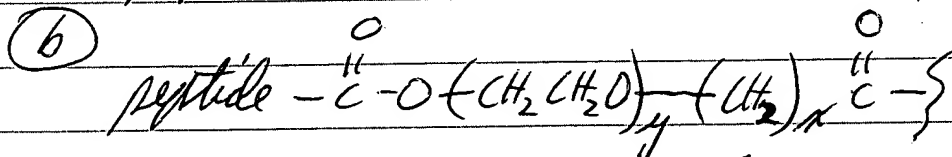
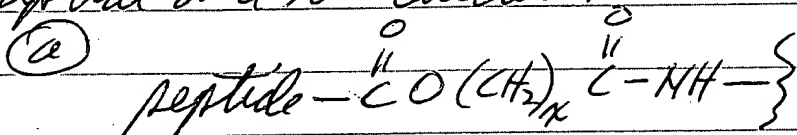
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where R can be H, acyl or alkyl

(-Cys Gly Gly)

⑤ ester linked spacers between the peptide and the chelate:



where x and y are small integers

③ peptide-CO-Ser Ser Cys

④ peptide-CO-Cys Ser Ser

⑤ peptide-CO-Tyr Gly Cys

Richard T. Dean

4/11/90

Read and understood by: A. L. Lee

Date: 4/11/90

Compositions for Modifying the N-Terminus of Peptides for Labeling with Technetium-99m

The following compositions are proposed for labeling peptides with Tc-99m:

- (1) $\text{HSCH}_2\overset{\text{O}}{\overset{\parallel}{\text{C}}}\text{NH-peptide}$
- (2) $\text{HSCH}_2\overset{\text{O}}{\overset{\parallel}{\text{C}}}\text{NH-Gly Gly Gly-peptide}$
- (3) $\text{HSCH}_2\overset{\text{O}}{\overset{\parallel}{\text{C}}}\text{NH-Ser Ser Ser-peptide}$
- (4) $\text{Cys Gly Gly-CO NH-peptide}$
- (5) $\text{Cys Gly Gly Gly-CO NH-peptide}$

Richard T. Dean

4/11/90

Read + understood by: Nishi Kunita Date: 4/20/92

Compositions for Imaging with Technetium-99m

The following peptides are proposed for labeling with Tc-99m and imaging.

- (1) RGDGGC, RGDGGGC
- (2) RGDSGGC, RGDSGGGC
- (3) CGGRGD, CGGGRGD
- (4) CGGRGDS, CGGGRGDS
- (5) mercaptoacetyl-GGGRGD
mercaptoacetyl-GGGRGDS
- (6) mercaptoacetyl-GGRGD
mercaptoacetyl-GGGRGDRGD
- (7) mercaptoacetyl-GGG-NH- $\begin{matrix} \text{CO-RGD(S)} \\ (\text{CH}_2)_x \text{CO-RGD(S)} \end{matrix}$

where $x = 0-6$

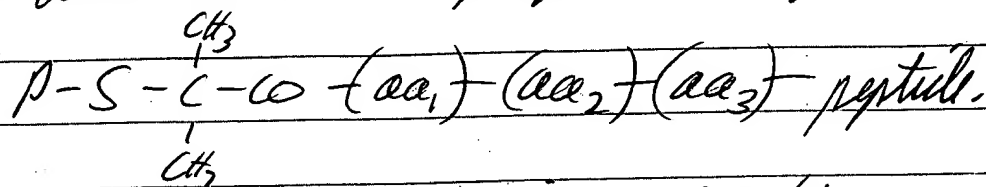
Richard T. Dean

4/11/90

Read + understood by: Andrew Lammle Date: 4/20/90

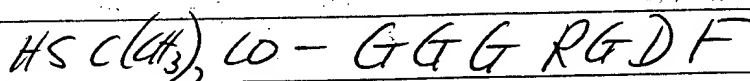
9/16/91 Peptides with a Group for Labeling with Tc-99m

An M_3S system for labeling peptides with Tc-99m is proposed as follows:



Where aa is any amino acid (i.e. α amino acid) and each may be the same or different, P is either H or a suitable protecting group.

A non-limiting example is:



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9/16/91

Witnessed by: Scott, Sullivan 16 Sept 91

9/16/91 Tc-99m Labeled Peptides

Peptides which have a C-terminal sequence as follows are useful for labeling with Tc-99m:

peptide $(aa_1)(aa_2)-Pen$

Where (aa) is any α -amino acid, Pen is penicillamine and the peptide is a peptide with a specific binding region.

Richard T. P. M.

Witnessed by: Scott Graham 16 Sept 91